106663533

=> d his

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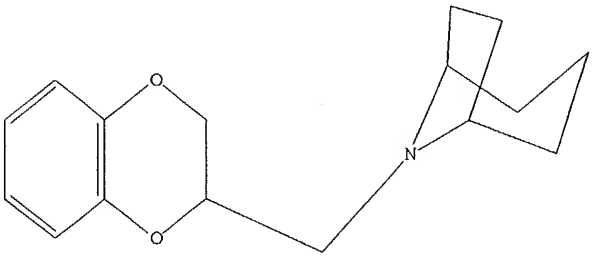

Structure attributes must be viewed using STN Express query preparation.

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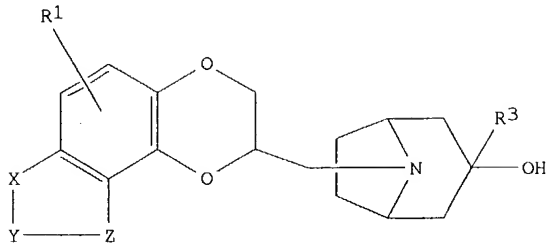
L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:849646 CAPLUS
DN 137:353043
TI Preparation of azabicyclylmethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene as 5-HT1A antagonists
IN Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002088145	A1	20021107	WO 2002-US13114	20020425
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002183336	A1	20021205	US 2002-131917	20020425
PRAI	US 2001-286818P	P	20010426		

OS MARPAT 137:353043
GI



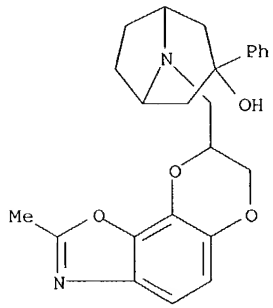
I

AB Azabicyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene [I; wherein X-Y-Z = N:C(R2)-O, N:C(R2)-NH, NH-C(R2):CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, halo, CF3, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.] were prepared For example, (8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) was reacted with 3-phenyl-8-azabicyclo[3.2.1]octan-3-ol to give 8-{[2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-yl]methyl}-3-phenyl-8-azabicyclo[3.2.1]octanol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

IT **474539-50-9P 474539-51-0P 474539-52-1P**
474668-38-7P 474668-39-8P 474668-40-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclooctanol benzodioxan derivs. as 5-HT1A antagonists for treatment of cognitive deficit disorders and disorders due to excessive serotonin stimulation)

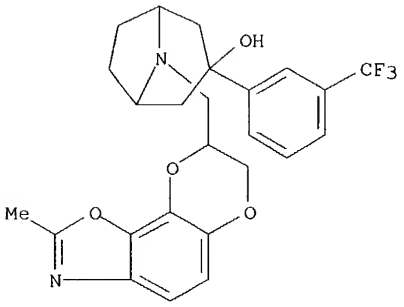
RN 474539-50-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl)methyl]-3-phenyl- (9CI) (CA INDEX NAME)

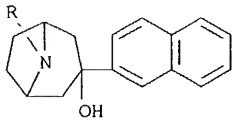


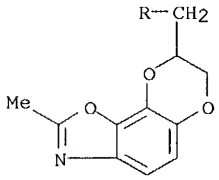
RN 474539-51-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl)methyl]-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



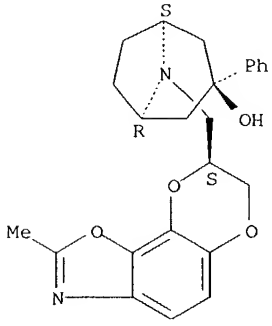
RN 474539-52-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl)methyl]-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)





RN 474668-38-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

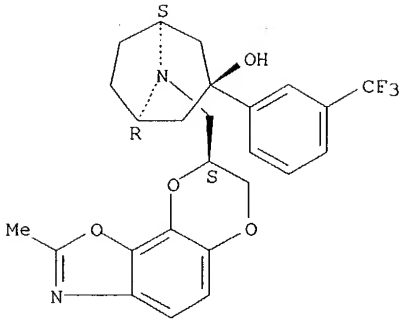
Absolute stereochemistry.



RN 474668-39-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-3-[3-(trifluoromethyl)phenyl]-, (3-endo)- (9CI) (CA INDEX NAME)

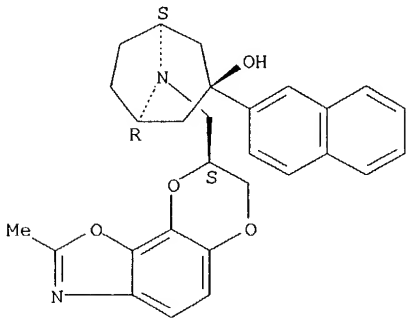
Absolute stereochemistry.

106663533



RN 474668-40-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-3-(2-naphthalenyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

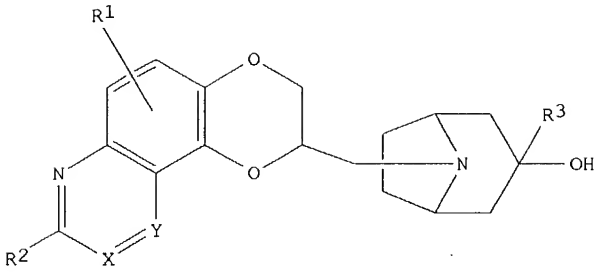


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:849633 CAPLUS
DN 137:353033
TI Preparation of azabicyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline as 5-HT1A antagonists
IN Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002088130	A1	20021107	WO 2002-US12953	20020425
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002183322	A1	20021205	US 2002-131355	20020424
PRAI	US 2001-286576P	P	20010426		

OS MARPAT 137:353033
GI

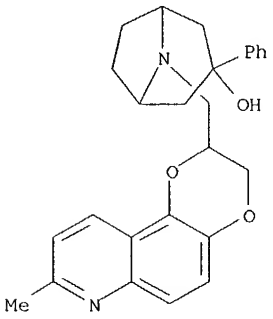


I

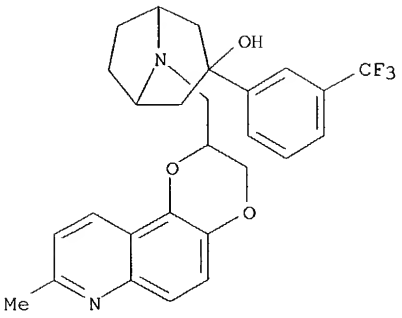
AB Azabicyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline [I; wherein X = N, CR4; Y = N, CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, OH, halo, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.; R4 = H, (C1-C6)alkyl] were prepared For example, (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) is reacted with 3-phenyl-8-aza-bicyclo[3.2.1]octan-3-ol to give the S-enantiomer of 8-{[8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl}-3-phenyl-8-azabicyclo[3.2.1]octan-3-ol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

IT **474539-41-8P 474539-42-9P 474539-43-0P 474668-23-0P 474668-24-1P 474668-25-2P 474668-26-3P 474668-27-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclooctanol quinolinodioxan derivs. as 5-HT1A antagonists for treatment of cognitive deficit disorders and disorders due to excessive serotonin stimulation)

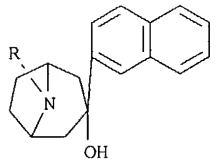
RN 474539-41-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-3-phenyl- (9CI) (CA INDEX NAME)

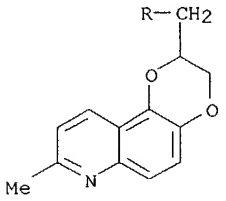


RN 474539-42-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



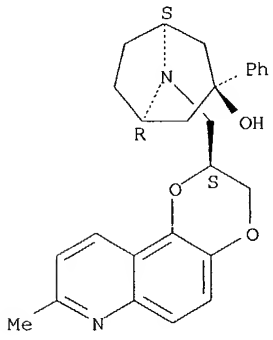
RN 474539-43-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl)methyl]-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)





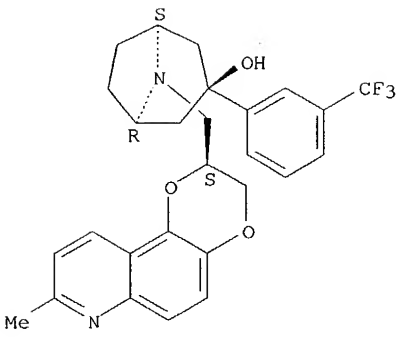
RN 474668-23-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



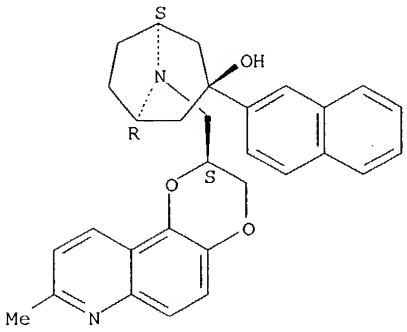
RN 474668-24-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-[3-(trifluoromethyl)phenyl]-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 474668-25-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-(2-naphthalenyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

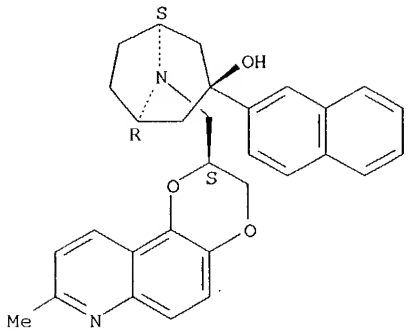


RN 474668-26-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-(2-naphthalenyl)-, (3-endo)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 474668-25-2
CMF C30 H30 N2 O3

Absolute stereochemistry.

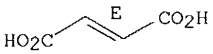


CM 2

106663533

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

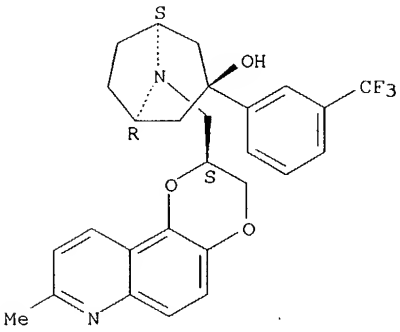


RN 474668-27-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-[3-(trifluoromethyl)phenyl]-, (3-endo)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 474668-24-1
CMF C27 H27 F3 N2 O3

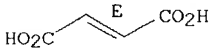
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:832796 CAPLUS
DN 137:337897
TI Preparation of 8-aza-bicyclo[3.2.1]octan-3-ol derivatives of 2,3-dihydro-1,4-benzodioxan and their 5-HT1A antagonist activity
IN Gilbert, Adam Matthew; Stack, Gary Paul
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085900	A1	20021031	WO 2002-US12837	20020424

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UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003032648 A1 20030213 US 2002-128057 20020423
US 6656951 B2 20031202
US 2004063728 A1 20040401 US 2003-663533 20030916
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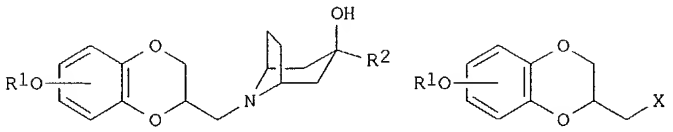
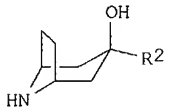
US 2002-128057 A1 20020423
OS MARPAT 137:337897
GI

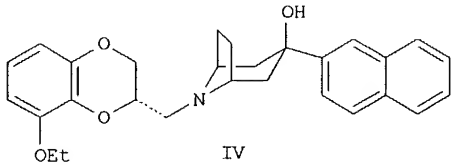


I

II



III



IV

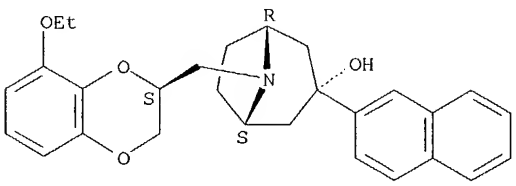
AB The title compds. I (R1 = 1-6 carbon straight chain alkyl, 3-8 carbon branched alkyl, R2 = Ph, naphthyl, pyridyl, etc.) were prepared by reacting benzodioxans II (X = halogen, SO2CF3, alkylsulfonate, etc.) with the corresponding hydroxy azabicyclooctanol derivs. III. Thus, naphthalenylazabicyclooctanol IV was prepared from tropinone, 2-bromonaphthalene, and (R)-toluene-4-sulfonic acid 8-ethoxy-2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl ester. In the HC 5-HT1A binding assay, IV had an activity of 5.9 nm Ki. I are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and also treatment of disorders related to excessive serotonergic stimulation, such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as appetite, thermoregulation, sleep and sexual behavior, which are known the be, at least in part, under serotonergic influence.

IT **473968-97-7P 473968-99-9P 473969-03-8P 473969-07-2P 473969-09-4P 473969-13-0P 473969-15-2P 473969-16-3P 473969-18-5P 473969-20-9P 473969-22-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azabicyclooctanol benzodioxan derivs. and their 5-HT1A antagonist activity using cloned human-5HT1A receptors for treatment of cognitive deficit disorders and disorders due to excessive serotonin stimulation)

RN 473968-97-7 CAPLUS

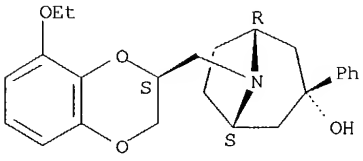
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-(2-naphthalenyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



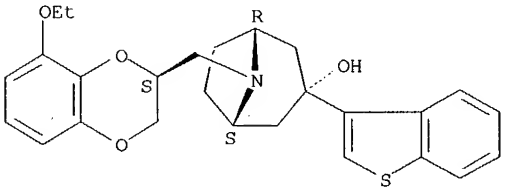
RN 473968-99-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



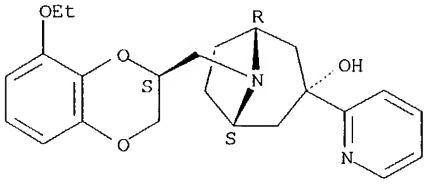
RN 473969-03-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-benzo[b]thien-3-yl-8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



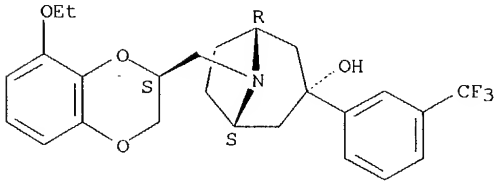
RN 473969-07-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-(2-pyridinyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



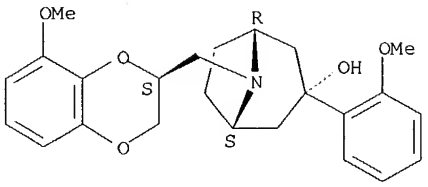
RN 473969-09-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[3-(trifluoromethyl)phenyl]-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



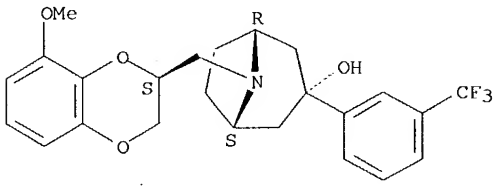
RN 473969-13-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(2-methoxyphenyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



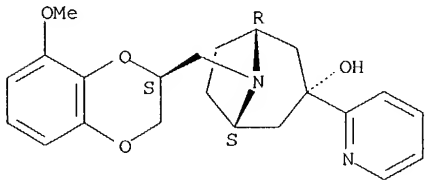
RN 473969-15-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-[3-(trifluoromethyl)phenyl]-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



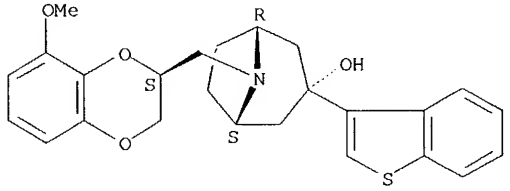
RN 473969-16-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(2-pyridinyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



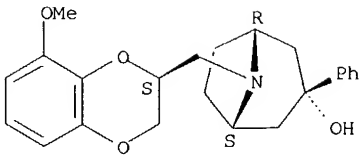
RN 473969-18-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-benzo[b]thien-3-yl-8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



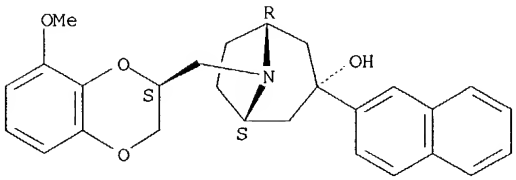
RN 473969-20-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 473969-22-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(2-naphthalenyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



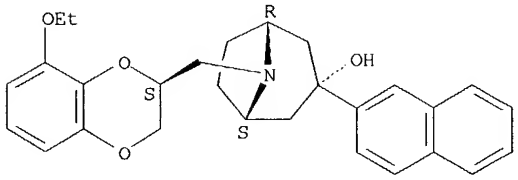
IT **473968-98-8P 473969-00-5P 473969-04-9P**
473969-08-3P 473969-10-7P 473969-14-1P
473969-17-4P 473969-19-6P 473969-21-0P
473969-23-2P 473969-24-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclooctanol benzodioxan derivs. and their 5-HT1A antagonist activity using cloned human-5HT1A receptors for treatment of cognitive deficit disorders and disorders due to excessive serotonin stimulation)

RN 473968-98-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-(2-naphthalenyl)-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

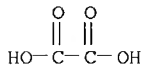
CRN 473968-97-7
CMF C28 H31 N O4

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 473969-00-5 CAPLUS

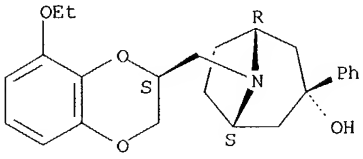
106663533

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-phenyl-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

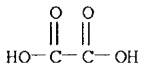
CRN 473968-99-9
CMF C24 H29 N O4

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

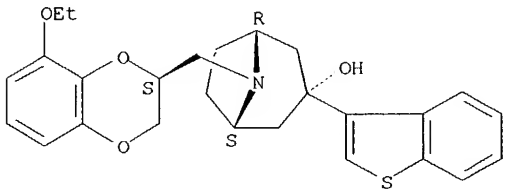


RN 473969-04-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-benzo[b]thien-3-yl-8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

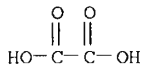
CRN 473969-03-8
CMF C26 H29 N O4 S

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



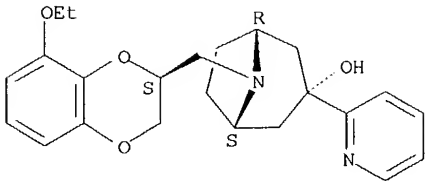
RN 473969-08-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-(2-pyridinyl)-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

106663533

CM 1

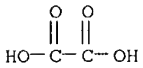
CRN 473969-07-2
CMF C23 H28 N2 O4

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

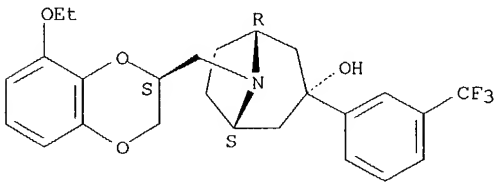


RN 473969-10-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-8-ethoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-3-[3-(trifluoromethyl)phenyl]-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

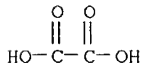
CRN 473969-09-4
CMF C25 H28 F3 N O4

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

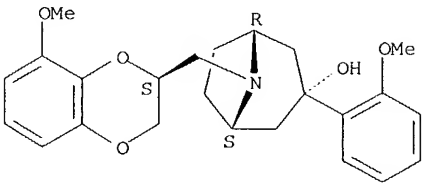


RN 473969-14-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(2-methoxyphenyl)-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

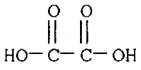
CRN 473969-13-0
CMF C24 H29 N O5

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

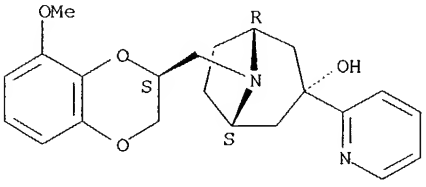


RN 473969-17-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(2-pyridinyl)-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

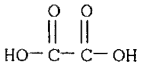
CRN 473969-16-3
CMF C22 H26 N2 O4

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

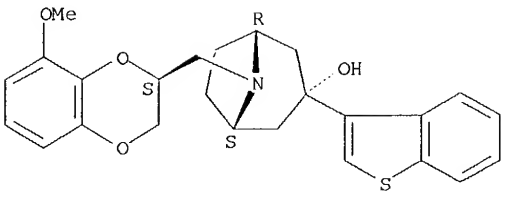


RN 473969-19-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-benzo[b]thien-3-yl-8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

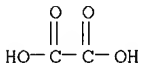
CRN 473969-18-5
CMF C25 H27 N O4 S

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

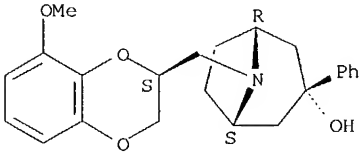


RN 473969-21-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-phenyl-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

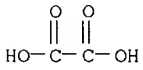
CRN 473969-20-9
CMF C23 H27 N O4

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



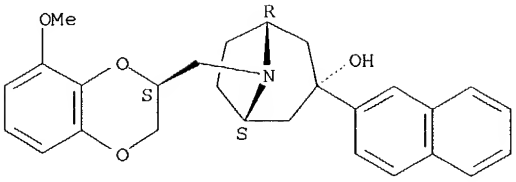
RN 473969-23-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(2-naphthalenyl)-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 473969-22-1
CMF C27 H29 N O4

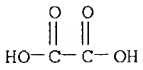
Absolute stereochemistry.

106663533



CM 2

CRN 144-62-7
CMF C2 H2 O4

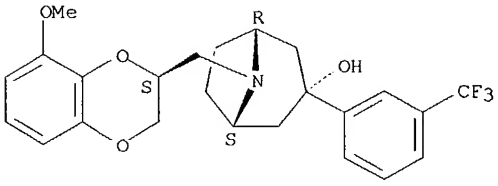


RN 473969-24-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-[3-(trifluoromethyl)phenyl]-, (3-endo)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

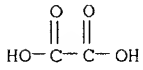
CRN 473969-15-2
CMF C24 H26 F3 N O4

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:802451 CAPLUS
DN 133:321889
TI New derivatives of 8-([1,4]-benzodioxan-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3-alkyl ureas or imidazolidinones, methods for their preparation, and their therapeutic applications for treating neurodegenerative diseases
IN Mayer, Patrice; Imbert, Thierry; Marien, Marc
PA Pierre Fabre Medicament, Fr.
SO Fr. Demande, 34 pp.
CODEN: FRXXBL
DT Patent
LA French

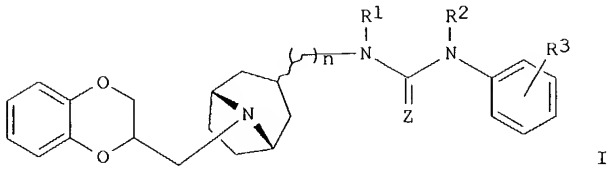
106663533

FAN.CNT 1

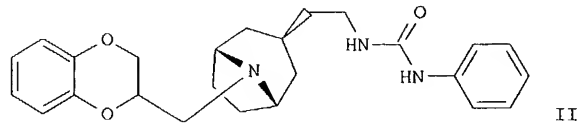
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2789681	A1	20000818	FR 1999-1711	19990212
PRAI	FR 1999-1711		19990212		

OS MARPAT 133:321889

GI



I



II

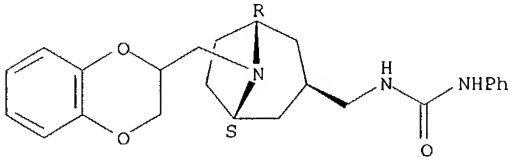
AB Title compds. I and their salts are disclosed [wherein Z = O, S; R1, R2 = H, C1-4 alkyl; or R1R2 = CH2CH2; R3 = H, C1-4 alkyl, halo, alkoxy, methylenedioxy, CF3, CN, CONH2, NO2; n = 1 and chain is β to tropane ring; or n = 2 and chain is α or β to tropane ring]. As α2-adrenergic receptor antagonists, I are useful for treating a variety of neurodegenerative disorders, as well as hypertension, cerebral ischemic and post-ischemic disorders, depression, narcolepsy, and male sexual dysfunction. Eight examples and their hydrochloride salts were prepared For instance, bicyclocondensation of 2,5-dimethoxytetrahydrofuran, acetonedicarboxylic acid, and benzodioxane-2-methanamine gave an 8-azabicyclo[3.2.1]octan-3-one derivative This ketone underwent a series of: (1) treatment with TosMIC to give the 3β-cyano analog; (2) reduction with DIBAL to give the 3β-formyl analog; (3) treatment again with TosMIC to give the 3β-(cyanomethyl) compound; (4) reduction with LiAlH4 to give the 3β-CH2CH2NH2 derivative; and (5) reaction with PhNCO, to give title compound II. This compound completely inhibited binding of [3H]-2-methoxy-idazoxan to three α2-receptor subtypes at a concentration of 10-7 M.

IT **302964-62-1P**, 1-[[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]methyl]-3-phenylurea **302964-63-2P**, 1-[[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]methyl]-3-phenylthiourea **302964-64-3P**, 1-[[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]methyl]-1-methyl-3-phenylurea **302964-65-4P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]ethyl]-3-phenylurea **302964-66-5P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]]oct-3β-yl]ethyl]-3-phenylimidazolidin-2-one **302964-67-6P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3α-yl]ethyl]-3-(2-nitrophenyl)urea **302964-68-7P**, 1-[[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]methyl]-3-phenylurea hydrochloride **302964-69-8P**, 1-[[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]methyl]-3-phenylthiourea hydrochloride **302964-70-1P**, 1-[[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]methyl]-1-methyl-3-phenylurea hydrochloride **302964-71-2P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]ethyl]-3-phenylurea hydrochloride **302964-72-3P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]]oct-3β-yl]ethyl]-3-phenylimidazolidin-2-one hydrochloride **302964-73-4P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3α-yl]ethyl]-3-(2-nitrophenyl)urea hydrochloride **302964-74-5P**, 1-[[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]methyl]-3-phenylimidazolidin-2-one **303041-06-7P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3α-yl]ethyl]-3-phenylurea **303041-08-9P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-

3α-yl]ethyl]-3-phenylimidazolidin-2-one **303041-10-3P**,
1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3α-yl]ethyl]-3-phenylurea hydrochloride **303041-12-5P**,
1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3α-yl]ethyl]-3-phenylimidazolidin-2-one hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of new (benzodioxanylmethyl)azabicyclooctanealkyl ureas and imidazolidinones as α2-adrenergic antagonists)

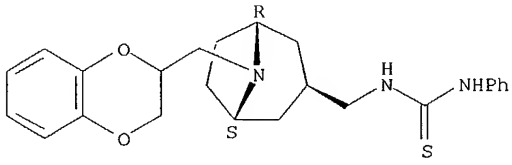
RN 302964-62-1 CAPLUS
CN Urea, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N'-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



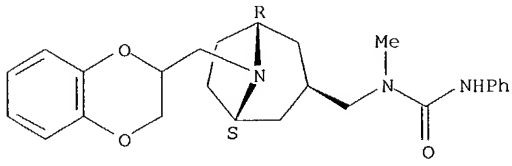
RN 302964-63-2 CAPLUS
CN Thiourea, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N'-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



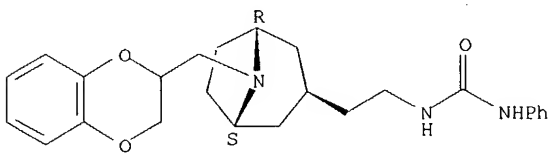
RN 302964-64-3 CAPLUS
CN Urea, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N-methyl-N'-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 302964-65-4 CAPLUS
CN Urea, N-[2-[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)

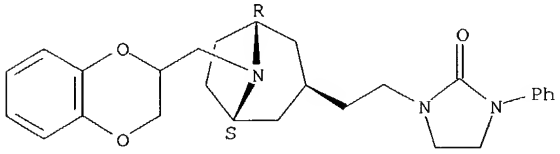
Relative stereochemistry.



RN 302964-66-5 CAPLUS

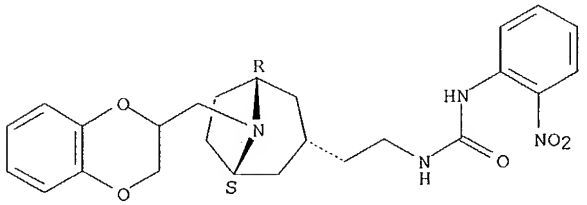
CN 2-Imidazolidinone, 1-[2-[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



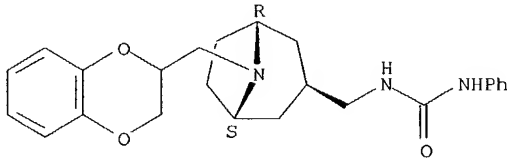
RN 302964-67-6 CAPLUS
CN Urea, N-[2-[(3-endo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-N'-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 302964-68-7 CAPLUS
CN Urea, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

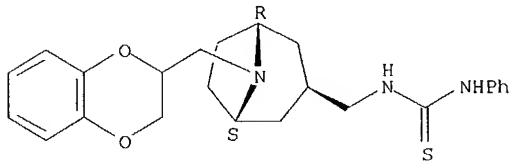
Relative stereochemistry.



● HCl

RN 302964-69-8 CAPLUS
CN Thiourea, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

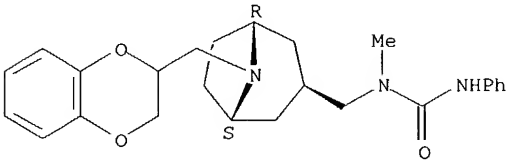
Relative stereochemistry.



● HCl

RN 302964-70-1 CAPLUS
CN Urea, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N-methyl-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

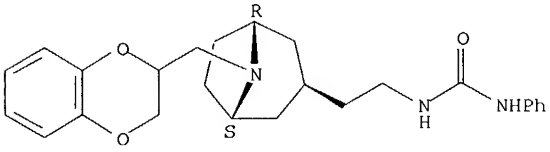
Relative stereochemistry.



● HCl

RN 302964-71-2 CAPLUS
CN Urea, N-[2-[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

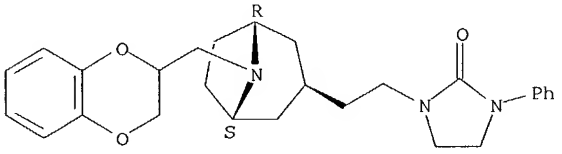
Relative stereochemistry.



● HCl

RN 302964-72-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

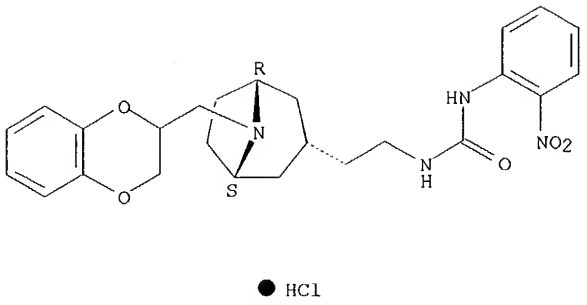
Relative stereochemistry.



● HCl

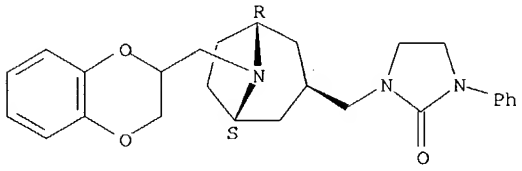
RN 302964-73-4 CAPLUS
CN Urea, N-[2-[(3-endo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-N'-(2-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



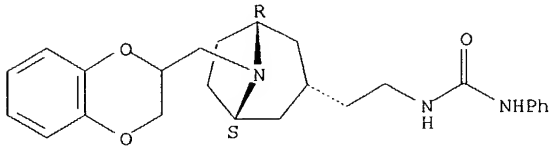
RN 302964-74-5 CAPLUS
CN 2-Imidazolidinone, 1-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-3-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



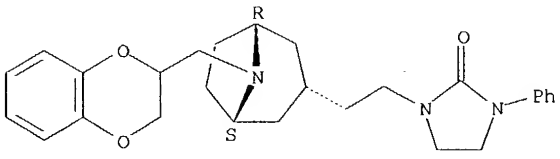
RN 303041-06-7 CAPLUS
CN Urea, N-[2-[(3-endo,8-anti)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



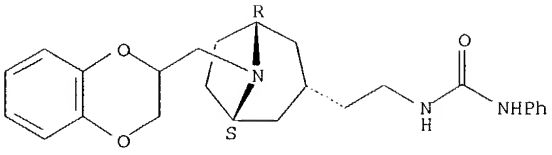
RN 303041-08-9 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(3-endo,8-anti)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-3-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 303041-10-3 CAPLUS
CN Urea, N-[2-[(3-endo,8-anti)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

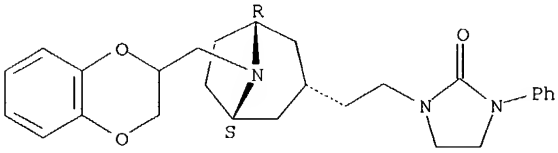
Relative stereochemistry.



● HCl

RN 303041-12-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(3-endo,8-anti)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

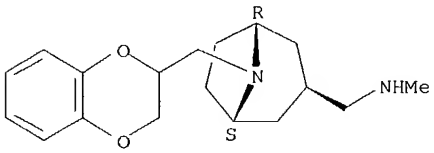
Relative stereochemistry.



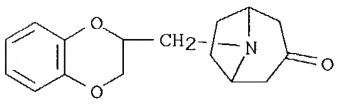
● HCl

IT **230315-56-7P**, N-Methyl-8-(2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3β-methanamine **302964-75-6P**, 8-[(2,3-Dihydrobenzo[1,4]dioxin-2-yl)methyl]-8-azabicyclo[3.2.1]octan-3-one **302964-76-7P**, 8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3β-carbonitrile **302964-77-8P**, 8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3β-methanamine **302964-78-9P**, N-Formyl-8-(2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3β-methanamine **302964-79-0P**, 8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3β-carboxaldehyde **302964-80-3P**, 8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3β-acetonitrile **302964-81-4P**, 8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3β-ethanamine **302964-82-5P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3β-yl]ethyl]-3-(2-chloroethyl)-3-phenylurea **302964-83-6P**, [8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidine]acetonitrile **303041-14-7P**, 8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]octane-3α-ethanamine **303041-17-0P**, 1-[2-[8-(2,3-Dihydrobenzo[1,4]dioxin-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3α-yl]ethyl]-3-(2-chloroethyl)-3-phenylurea
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of new (benzodioxanylmethyl)azabicyclooctanealkyl ureas and imidazolidinones as α2-adrenergic antagonists)
RN 230315-56-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

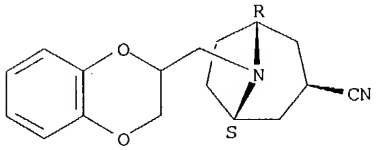


RN 302964-75-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-one, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



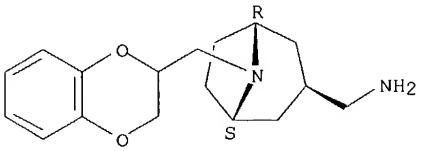
RN 302964-76-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-carbonitrile, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



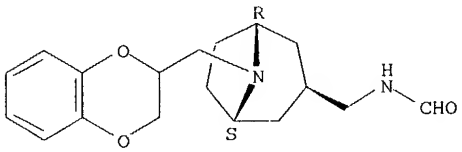
RN 302964-77-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



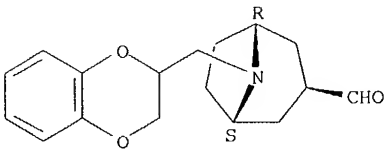
RN 302964-78-9 CAPLUS
CN Formamide, N-[[(1α,3α,5α)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



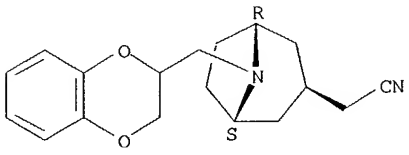
RN 302964-79-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-carboxaldehyde, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



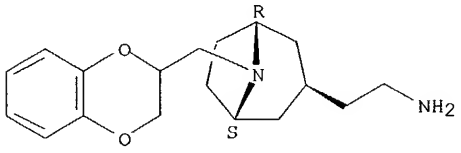
RN 302964-80-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-acetonitrile, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



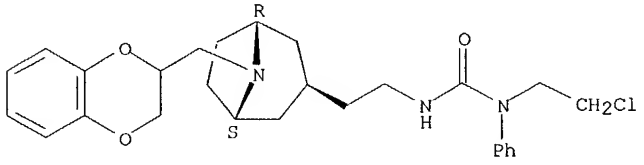
RN 302964-81-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

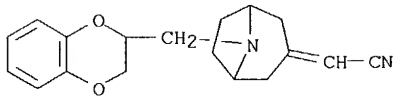


RN 302964-82-5 CAPLUS
CN Urea, N-(2-chloroethyl)-N'-[2-[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-N-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

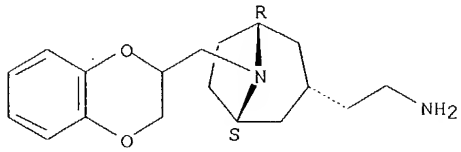


RN 302964-83-6 CAPLUS
CN Acetonitrile, [8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene]- (9CI) (CA INDEX NAME)



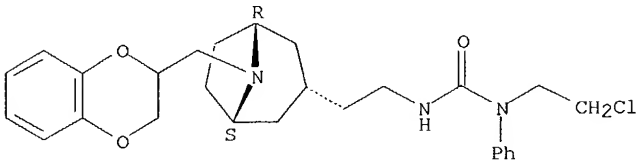
RN 303041-14-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-ethanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-endo,8-anti)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 303041-17-0 CAPLUS
CN Urea, N-(2-chloroethyl)-N'-[2-[(3-endo,8-anti)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]ethyl]-N-phenyl- (9CI) (CA INDEX NAME)

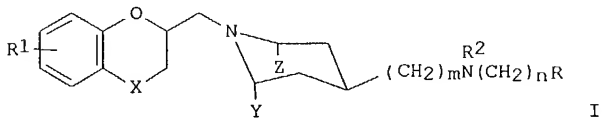
Relative stereochemistry.



L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:420927 CAPLUS
DN 131:102028
TI Preparation of cyclic amine derivatives
IN Kato, Hideo; Iwasaki, Nobuhiko; Ikeda, Yoshitaka; Azuma, Teijiro
PA Hokurika Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 61 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11180979	A2	19990706	JP 1998-80369	19980312
PRAI	JP 1997-303800		19971017		

OS MARPAT 131:102028
GI



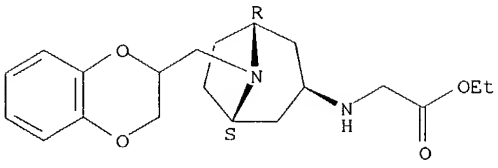
I

AB Title compds. [I; R1 = H, 7-Cl, 7-CH3; Z = H; Y = H; Y-Z = -CH2CH2, -CH2CH2CH2; m = 0-2; n = 1-4; X = CH, O; R = CO2Et, CO2H, CONHPr-i, CONHPh, CONH2, NHSO2Me, etc.; R2 = CH3, H, CH3(CH2)5, CH3(CH2)2, CH3(CH2)5, etc.], stereoisomers, and pharmaceutical acceptable salts as α2b adrenaline inhibitors are prepared in treatment of central nervous system diseases, such as, emothion induced digestive hypofunction, hypertension, obesity, etc. Thus, the title compound I (R1 = H; X = O; Y = H; Z = H; m = 0; n = 4; R = CO2Et; R2 = H) was prepared
IT **230314-26-8P 230314-28-0P 230314-30-4P**
230314-31-5P 230314-33-7P 230314-35-9P
230314-57-5P 230314-58-6P 230314-59-7P
230314-60-0P 230314-61-1P 230314-62-2P
230314-65-5P 230314-95-1P 230314-97-3P
230314-99-5P 230315-00-1P 230315-02-3P
230315-03-4P 230315-04-5P 230315-05-6P
230315-06-7P 230315-10-3P 230315-11-4P
230315-12-5P 230315-13-6P 230315-17-0P
230315-24-9P 230315-30-7P 230315-36-3P
230315-38-5P 230647-98-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of arylamino aliphatic acid derivs.)
RN 230314-26-8 CAPLUS
CN Glycine, N-[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]-, ethyl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230314-25-7
CMF C20 H28 N2 O4

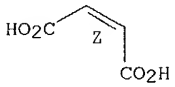
Relative stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

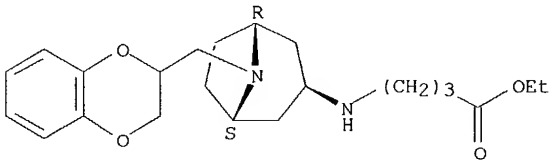


RN 230314-28-0 CAPLUS
CN Butanoic acid, 4-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]amino]-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 230314-27-9
CMF C22 H32 N2 O4

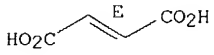
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

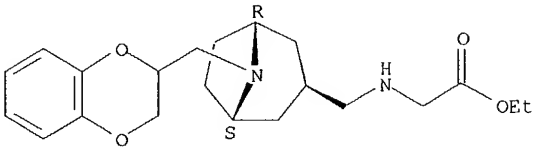


RN 230314-30-4 CAPLUS
CN Glycine, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-, ethyl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230314-29-1
CMF C21 H30 N2 O4

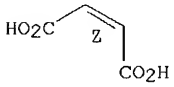
Relative stereochemistry.



CM 2

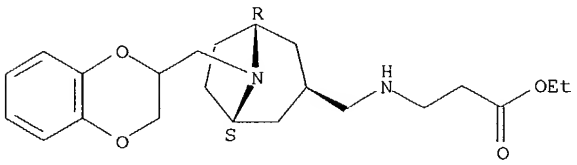
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 230314-31-5 CAPLUS
CN β-Alanine, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

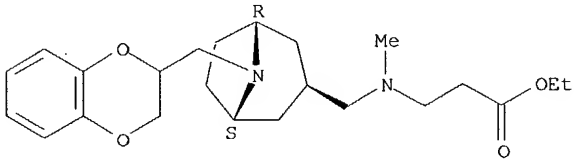


RN 230314-33-7 CAPLUS
CN β-Alanine, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N-methyl-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230314-32-6
CMF C23 H34 N2 O4

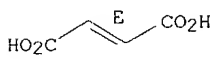
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

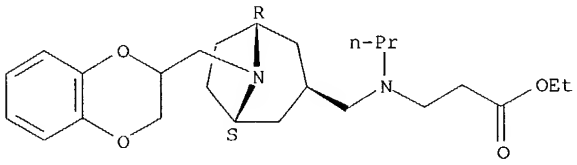


RN 230314-35-9 CAPLUS
CN β-Alanine, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N-propyl-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230314-34-8
CMF C25 H38 N2 O4

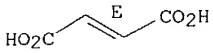
Relative stereochemistry.



CM 2

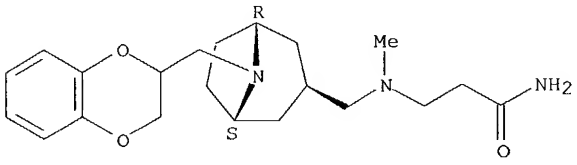
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



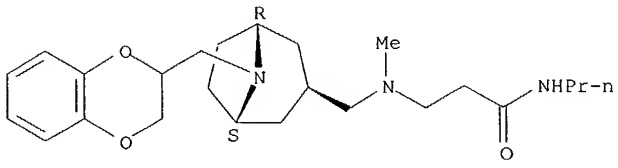
RN 230314-57-5 CAPLUS
CN Propanamide, 3-[[[8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]methylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



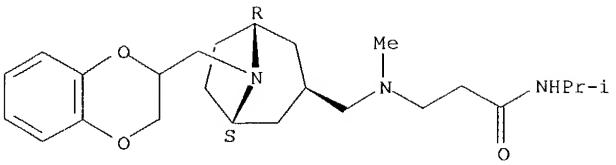
RN 230314-58-6 CAPLUS
CN Propanamide, 3-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]methylamino]-N-propyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



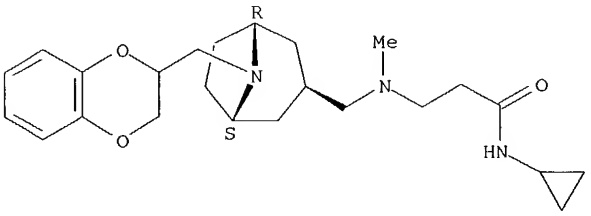
RN 230314-59-7 CAPLUS
CN Propanamide, 3-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]methylamino]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



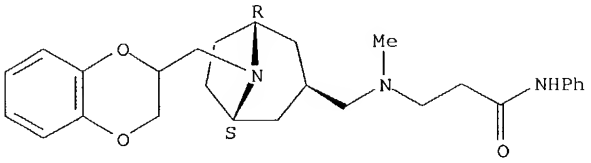
RN 230314-60-0 CAPLUS
CN Propanamide, N-cyclopropyl-3-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]methylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



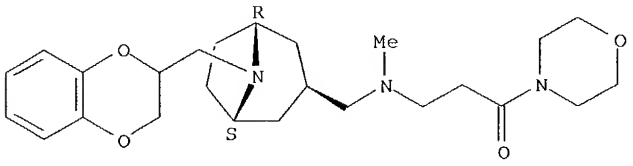
RN 230314-61-1 CAPLUS
CN Propanamide, 3-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]methylamino]-N-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

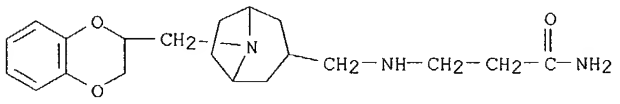


RN 230314-62-2 CAPLUS
CN Morpholine, 4-[3-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]methylamino]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 230314-65-5 CAPLUS
CN Propanamide, 3-[[[8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]- (9CI) (CA INDEX NAME)



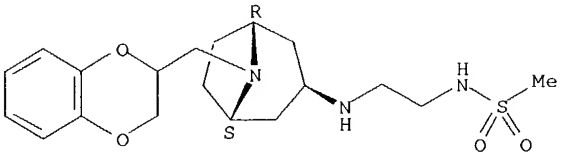
106663533

RN 230314-95-1 CAPLUS
CN Methanesulfonamide, N-[2-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]amino]ethyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230314-94-0
CMF C19 H29 N3 O4 S

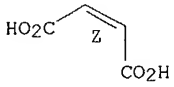
Relative stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

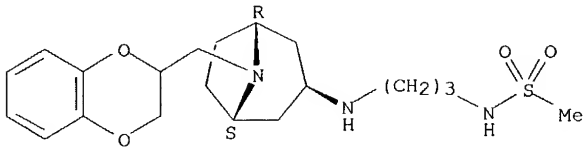


RN 230314-97-3 CAPLUS
CN Methanesulfonamide, N-[3-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]amino]propyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230314-96-2
CMF C20 H31 N3 O4 S

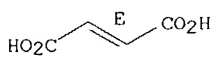
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

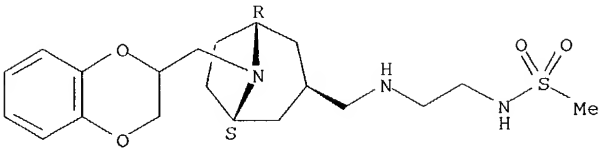


RN 230314-99-5 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230314-98-4
CMF C20 H31 N3 O4 S

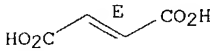
Relative stereochemistry.



CM 2

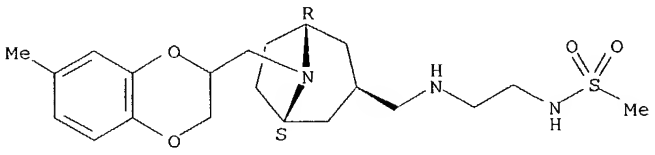
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 230315-00-1 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]-(9CI) (CA INDEX NAME)

Relative stereochemistry.

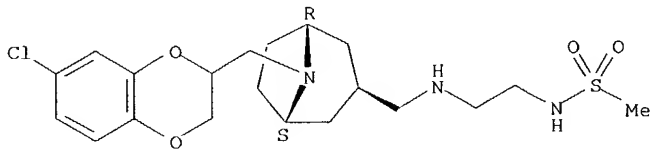


RN 230315-02-3 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230315-01-2
CMF C20 H30 Cl N3 O4 S

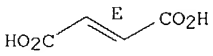
Relative stereochemistry.



CM 2

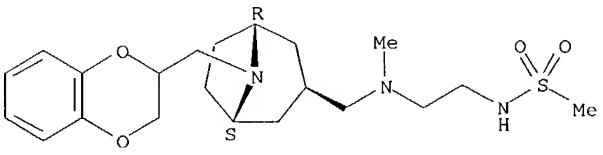
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



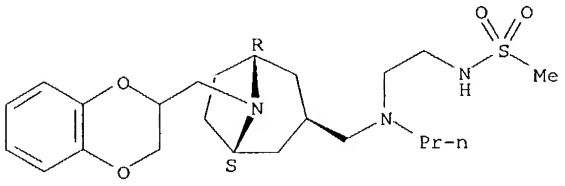
RN 230315-03-4 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]methylamino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



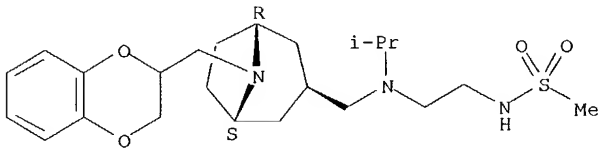
RN 230315-04-5 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]propylamino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



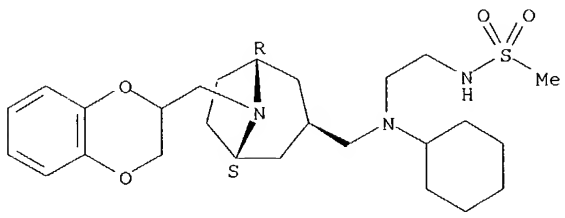
RN 230315-05-6 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl](1-methylethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



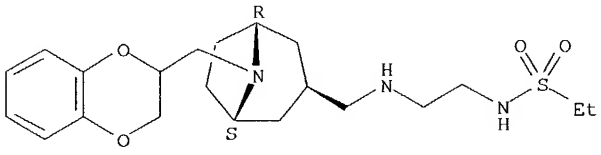
RN 230315-06-7 CAPLUS
CN Methanesulfonamide, N-[2-[cyclohexyl[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



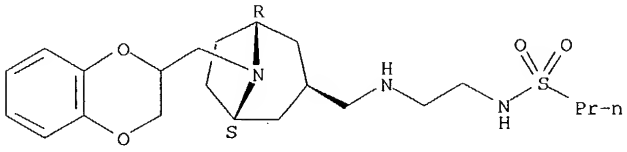
RN 230315-10-3 CAPLUS
CN Ethanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



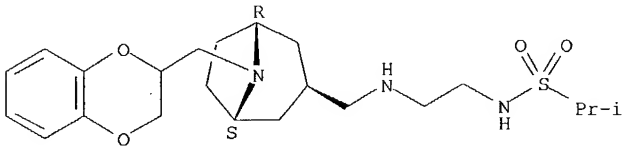
RN 230315-11-4 CAPLUS
CN 1-Propanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



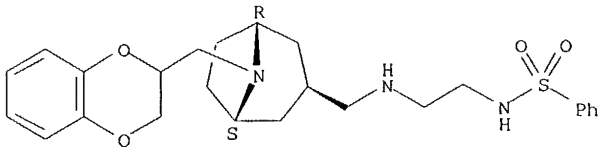
RN 230315-12-5 CAPLUS
CN 2-Propanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



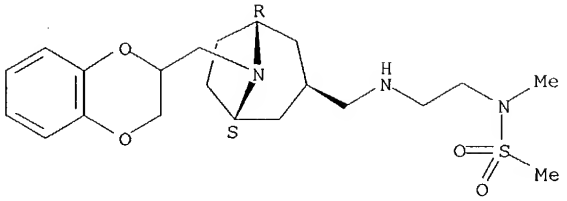
RN 230315-13-6 CAPLUS
CN Benzenesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



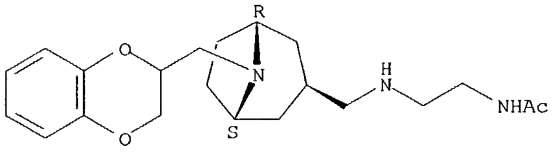
RN 230315-17-0 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



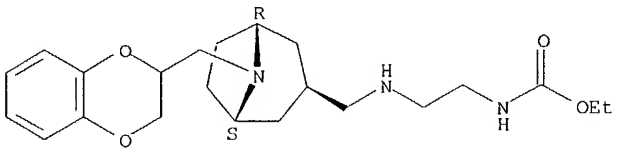
RN 230315-24-9 CAPLUS
CN Acetamide, N-[2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 230315-30-7 CAPLUS
CN Carbamic acid, [2-[[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

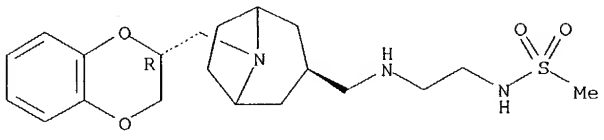


RN 230315-36-3 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230315-35-2
CMF C20 H31 N3 O4 S

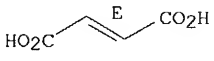
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

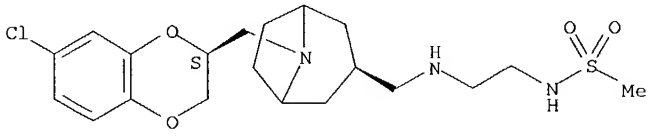


RN 230315-38-5 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230315-37-4
CMF C20 H30 Cl N3 O4 S

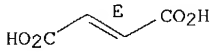
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

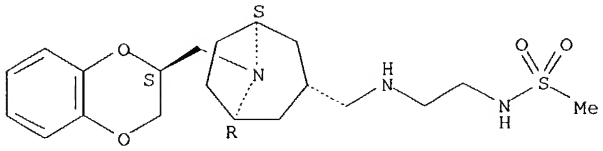


RN 230647-98-0 CAPLUS
CN Methanesulfonamide, N-[2-[[[(3-exo)-8-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]amino]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230647-97-9
CMF C20 H31 N3 O4 S

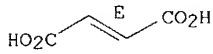
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

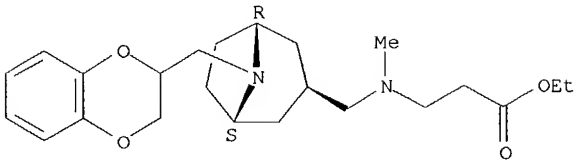
Double bond geometry as shown.



IT **230314-32-6 230315-49-8 230315-56-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylamino aliphatic acid derivs.)
RN 230314-32-6 CAPLUS
CN β-Alanine, N-[[(3-exo)-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]methyl]-N-methyl-, ethyl ester (9CI) (CA INDEX

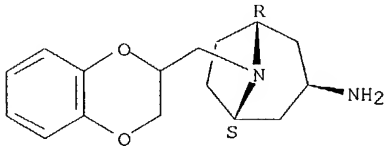
NAME)

Relative stereochemistry.



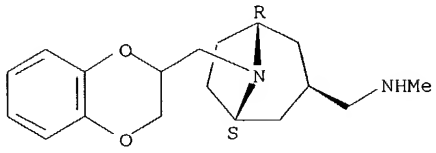
RN 230315-49-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-amine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 230315-56-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

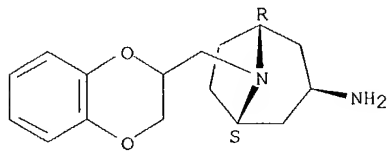


IT **230315-50-1P 230315-57-8P 230315-59-0P**
230315-61-4P 230315-63-6P 230315-64-7P
230315-67-0P 230315-68-1P 230315-69-2P
230315-70-5P 230315-72-7P 230315-74-9P
230647-99-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylamino aliphatic acid derivs.)
RN 230315-50-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-amine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-exo)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230315-49-8
CMF C16 H22 N2 O2

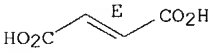
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

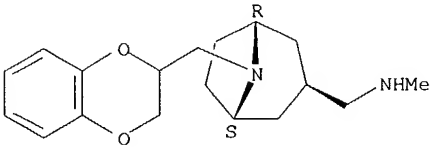


RN 230315-57-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl-, (3-exo)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 230315-56-7
CMF C18 H26 N2 O2

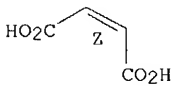
Relative stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

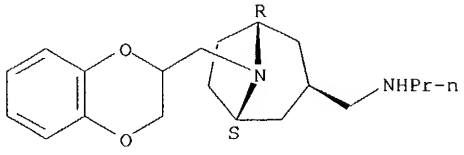


RN 230315-59-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-propyl-, (3-exo)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230315-58-9
CMF C20 H30 N2 O2

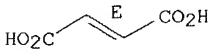
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

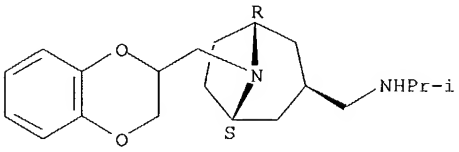


RN 230315-61-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(1-methylethyl)-, (3-exo)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230315-60-3
CMF C20 H30 N2 O2

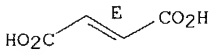
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

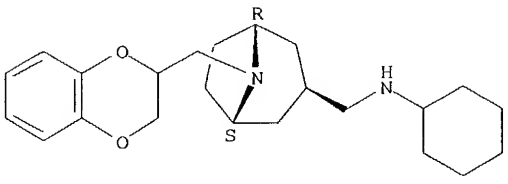


RN 230315-63-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, N-cyclohexyl-8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (3-exo)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 230315-62-5
CMF C23 H34 N2 O2

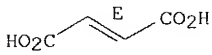
Relative stereochemistry.



CM 2

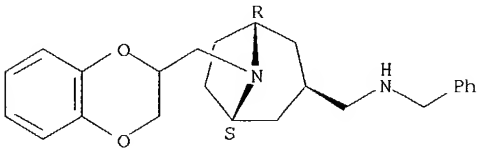
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 230315-64-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(phenylmethyl)-, dihydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

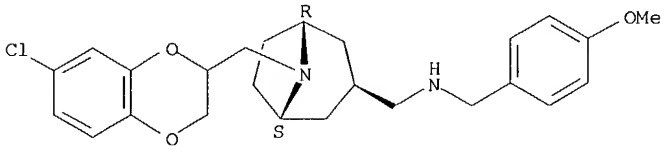
Relative stereochemistry.



●2 HCl

RN 230315-67-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-[(4-methoxyphenyl)methyl]-, dihydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

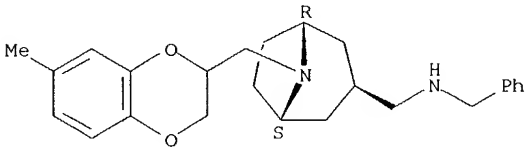
Relative stereochemistry.



●2 HCl

RN 230315-68-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-N-(phenylmethyl)-, dihydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

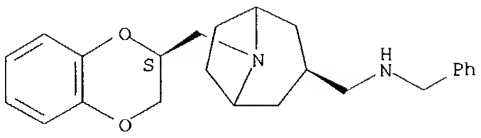
Relative stereochemistry.



●2 HCl

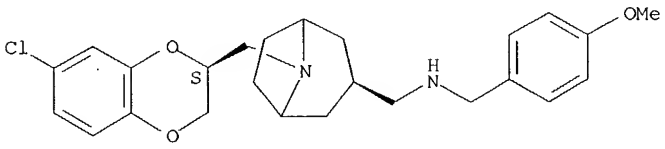
RN 230315-69-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[[(2S)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-N-(phenylmethyl)-, (3-exo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 230315-70-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[[(2S)-7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-N-[(4-methoxyphenyl)methyl]-, dihydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

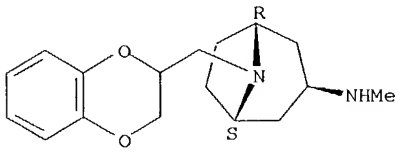
Absolute stereochemistry.



●2 HCl

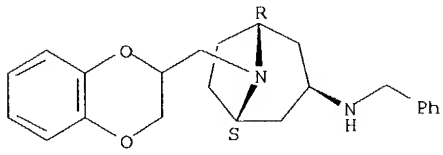
RN 230315-72-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-amine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-methyl-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 230315-74-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-amine, 8-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-N-(phenylmethyl)-, dihydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

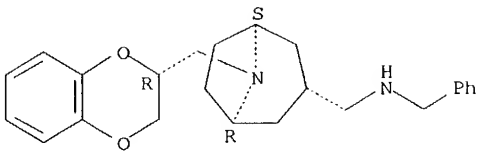
Relative stereochemistry.



●2 HCl

RN 230647-99-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]-N-(phenylmethyl)-, (3-exo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


S
O
R
N
H
N
Ph
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O